=> d his

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(FILE 'HOME' ENTERED AT 12:36:03 ON 27 JUN 2000)
     FILE 'REGISTRY' ENTERED AT 12:36:18 ON 27 JUN 2000
L1
                STR
              4 S L1
L2
            114 S L1 FUL
L3
     FILE 'CAPLUS' ENTERED AT 12:37:45 ON 27 JUN 2000
L4
             18 S L3
     FILE 'REGISTRY' ENTERED AT 12:37:51 ON 27 JUN 2000
L5
             5 S L3 AND OC5-C6/ES
             19 S L3 AND OC5/ESS
L6
L7
                STR L1
                STR L1
L8
     FILE 'CAPLUS' ENTERED AT 12:41:58 ON 27 JUN 2000
     FILE 'REGISTRY' ENTERED AT 12:42:09 ON 27 JUN 2000
L9
             8 S L7 OR L8 SSS FUL SUB=L3
                                                       - 22 compounds
1 cité caplus
L10
             22 S L5 OR L6 OR L9
     FILE 'CAPLUS' ENTERED AT 12:43:21 ON 27 JUN 2000
              1 S L10
L11
     FILE 'CAOLD' ENTERED AT 12:44:17 ON 27 JUN 2000
              0 S L10 \angle \emptyset
L12
    FILE 'BEILSTEIN' ENTERED AT 12:44:29 ON 27 JUN 2000
             24 S L1 FUL
L13
L14
                STR
L15
                STR
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               STR
L17
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=> d que 111

STR

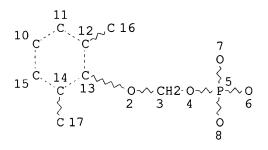
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L7



Subset

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE STR

Subset Zof 2

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

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L10 22 SEA FILE=REGISTRY ABB=ON PLU=ON L5 OR L6 OR L9

L11 1 SEA FILE=CAPLUS ABB=ON PLU=ON L10 AULAKH 09/131385 Page 4

=> d bib abs hitstr

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2000 ACS
ΑN
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DN
     132:171119
ΤI
     Water-soluble prodrugs of hindered alcohols or phenols
IN
     Stella, Valentino J.; Zygmunt, Jan J.; Georg, Ingrid Gunda; Safadi,
     Muhammed S.
PA
     University of Kansas, USA
     PCT Int. Appl., 76 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                                APPLICATION NO. DATE
     ______
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     WO 2000008033
                       A1
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              RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
              ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
              CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-131385
                        19980807
OS
     MARPAT 132:171119
AB
     Water-sol. phosphonooxymethyl esters of drugs contg. aliph. or arom.
     hindered OH groups are prepd. as prodrugs to improve the bioavailability
     of the drugs without use of surfactants which lead to severe side
effects.
     Among the drugs thus rendered water sol. are camptothecin, propofol,
     cyclosporin A, etoposide, and .alpha.-tocopherol. Thus, propofol was
     converted via its O-(methylthio)methyl, O-chloromethyl, and
     O-phosphonooxymethyl dibenzyl ester derivs. to O-
     phosphonooxymethylpropofol. This compd. had a water soly. of .apprx.500
     mg/mL, was nontoxic in rats, was converted to propofol by alk.
phosphatase
     in vitro, and produced anesthesia in dogs in a similar manner to a com.
     propofol formulation (Diprivan).
     258516-91-5P 258516-93-7P 258516-95-9P
TT
     258516-97-1P 258516-99-3P 258517-01-0P
     258517-02-1P 258517-03-2P 258517-04-3P
     258517-05-4P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
         (water-sol. prodrugs of hindered alcs. or phenols)
RN
     258516-91-5 CAPLUS
     Methanol, [(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-
CN
     2H-1-benzopyran-6-yl]oxy]-, dihydrogen phosphate (9CI) (CA INDEX NAME)
```

RN 258516-93-7 CAPLUS

CN Methanol, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, dihydrogen phosphate, disodium salt (9CI)

(CA INDEX NAME)

2 Na

RN 258516-95-9 CAPLUS

CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4-ethyl-4-[(phosphonooxy)methoxy]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 258516-97-1 CAPLUS

CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4-ethyl-4-[(phosphonooxy)methoxy]-, disodium salt, (4S)- (9CI) (CA INDEX NAME)

Searched by John Dantzma 703-308-4488

AULAKH 09/131385 Page 6

Absolute stereochemistry.

2 Na

RN 258516-99-3 CAPLUS

CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione,
4-ethyl-4-[(phosphonooxy)methoxy]-, monosodium salt, (4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

• Na

CMF C21 H19 N2 O8 P

Absolute stereochemistry.

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

CDES 5:L

Absolute stereochemistry.

RN 258517-02-1 CAPLUS

CN L-Arginine, compd. with (4S)-4-ethyl-4-[(phosphonooxy)methoxy]-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione (1:1) (9CI)

(CA INDEX NAME)

CM 1

CRN 258516-95-9

CMF C21 H19 N2 O8 P

CM

CRN 74-79-3 CMF C6 H14 N4 O2

CDES 5:L

Absolute stereochemistry.

$$H_2N$$
 N_H
 $(CH_2)_3$
 S
 CO_2H
 N_H

RN

258517-03-2 CAPLUS
D-Glucitol, 1-deoxy-1-(methylamino)-, compd. with (4S)-4-ethyl-4[(phosphonooxy)methoxy]-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinoline-CN 3,14(4H,12H)-dione (1:1) (9CI) (CA INDEX NAME)

CM

CRN 258516-95-9 CMF C21 H19 N2 O8 P

CM 2

CRN 6284-40-8 CMF C7 H17 N O5 CDES *

Absolute stereochemistry.

RN 258517-04-3 CAPLUS

CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5-[3,5-dimethoxy-4-[(phosphonooxy)methoxy]phenyl]-9-[[4,6-0-(1R)-ethylidene-.beta.-D-glucopyranosyl]oxy]-5,8,8a,9-tetrahydro-, (5R,5aR,8aR,9S)- (9CI) (CA INDEX NAME)

RN 258517-05-4 CAPLUS

CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5-[3,5-dimethoxy-4-[(phosphonooxy)methoxy]phenyl]-9-[[4,6-O-(1R)-ethylidene-.beta.-D-glucopyranosyl]oxy]-5,8,8a,9-tetrahydro-, disodium salt, (5R,5aR,8aR,9S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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703-308-4488

PAGE 1-B

- (CH₂)₃-CHMe₂

RN 258516-40-4 CAPLUS

CN Phosphoric acid, 4-[(5R,5aR,8aR,9S)-9-[[4,6-0-(1R)-ethylidene-.beta.-D-glucopyranosyl]oxy]-5,5a,6,8,8a,9-hexahydro-6-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-2,6-dimethoxyphenylbis(phenylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



RN 258516-48-2 CAPLUS

Phosphoric acid, [[(4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl]oxy]methyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 258516-51-7 CAPLUS

RN 258516-55-1 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 258516-58-4 CAPLUS CN Phosphoric acid, bis(1,1-dimethylethyl) 4-[(5R,5aR,8aR,9S)-9-[[4,6-0-(1R)ethylidene-.beta.-D-glucopyranosyl]oxy]-5,5a,6,8,8a,9-hexahydro-6oxofuro[3', 4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-2,6-dimethoxyphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

258516-64-2 CAPLUS RN

Phosphoric acid, bis(1,1-dimethylethyl) [[(4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-CN yl]oxy]methyl ester (9CI) (CA INDEX NAME)

RN 258516-67-5 CAPLUS

CN Phosphoric acid, [2,6-bis(1-methylethyl)phenoxy]methyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 258516-69-7 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl di-2-propenyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-(CH₂)₃-CHMe₂

RN 258516-72-2 CAPLUS

CN Phosphoric acid, 4-[(5R,5aR,8aR,9S)-9-[[4,6-O-(1R)-ethylidene-.beta.-D-glucopyranosyl]oxy]-5,5a,6,8,8a,9-hexahydro-6-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-2,6-dimethoxyphenyldi-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 258516-78-8 CAPLUS

CN Phosphoric acid, [[(4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl]oxy]methyl di-2-propenyl ester (9CI) (CA INDEX NAME)

RN258516-80-2 CAPLUS

CN Phosphoric acid, [2,6-bis(1-methylethyl)phenoxy]methyl di-2-propenyl ester

(9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - O - P - O - CH_2 - O$$
 $I - Pr - I$
 $H_2C = CH - CH_2 - O$

RE.CNT 5

RE

- (1) Bristol-Myers Squibb Co; EP 0604910 A 1994
- (2) Bristol-Myers Squibb Co; EP 0639577 A 1995 (3) Bristol-Myers Squibb Co; EP 0747385 A 1996
- (4) Golik, J; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1996, V6(15), P1837
- (5) Safadi, M; PHARMACEUTICAL RESEARCH 1993, V10(9), P1350 CAPLUS

AULAKH 09/131385 Page 18

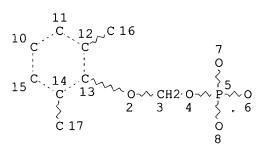
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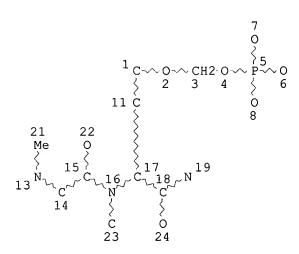
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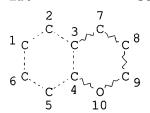


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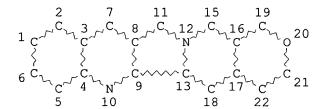
Searched by John Dantzma 703-308-4488

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE L16 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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STEREO ATTRIBUTES: NONE

SEARCH TIME: 00.00.09

L17 O SEA FILE=BEILSTEIN SUB=L13 SSS FUL L14 OR L15 OR L16 OR L7 OR

100.0% PROCESSED 8 ITERATIONS

0 ANSWERS